L9 ANSWER 4 OF 82 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:798220 CAPLUS
DOCUMENT NUMBER: 135:344472
TITLE: Preparation of 6-(5-oxazolyl)-4(1H)-quinolinones as inhibitors of IMPDH enzyme
INVENTOR(S): Iwanowicz, Edwin J.; Watterson, Scott H.; Dhar, T. G. Murali; Pitts, William J.; Gu, Henry H.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 263 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

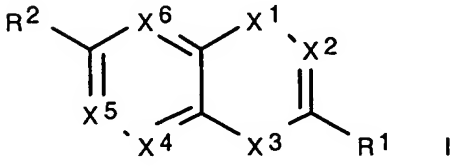
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001081340	A2	20011101	WO 2001-US12900	20010419
WO 2001081340	A3	20020523		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

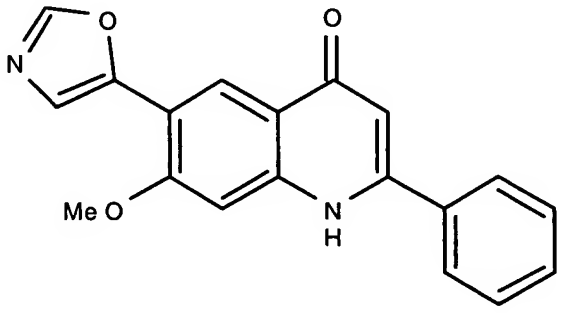
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2002040022	A1	20020404	US 2001-840503	20010423

PRIORITY APPLN. INFO.: US 2000-199420P P 20000424
OTHER SOURCE(S): MARPAT 135:344472
GI



I



II

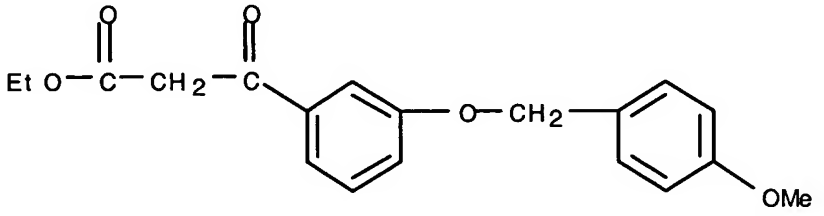
AB Title compds. I [wherein X1 = CO, SO, or SO2; X2 = CR3 or N; X3 = NH, O, or S; X4 = CR4 or N; X5 = CR5 or N; X6 = CR6 or N] were prepared were prepared as inosine monophosphate dehydrogenase (IMPDH) enzyme inhibitors. For example, acetalization of 4-nitro-2-methoxytoluene with AcOH (51%), reduction

to the aldehyde (91%), and cycloaddn. with (p-tolylsulfonyl)methyl isocyanate gave 5-(4-nitro-2-methoxyphenyl)oxazole (84%), which was reduced to the amine (95%). Alkylation with Et benzoylacetate and cyclization afforded the 6-(5-oxazolyl)-4(1H)-quinolinone II. Thus, I are useful as therapeutic agents for IMPDH-associated disorders, such as allograft rejection (no data).

IT **371251-24-0P**, 3-[(4-Methoxyphenyl)methoxy]-.beta.-oxobenzenepropanoic acid ethyl ester **371251-67-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of oxazolylquinolinones as inhibitors of IMPDH enzyme for treatment of transplant rejection and other IMPDH-associated disorders)

RN 371251-24-0 CAPLUS

CN Benzenepropanoic acid, 3-[(4-methoxyphenyl)methoxy]-.beta.-oxo-, ethyl ester (9CI) (CA INDEX NAME)



RN 371251-67-1 CAPLUS

CN Benzenepropanoic acid, 4-methyl-.beta.-oxo-3-(phenylmethoxy)-, ethyl ester (9CI) (CA INDEX NAME)